

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
30 June 2005 (30.06.2005)

PCT

(10) International Publication Number  
WO 2005/058909 A3

(51) International Patent Classification<sup>7</sup>: C07D 471/22, A61K 31/4745

(21) International Application Number:  
PCT/NL2004/000888

(22) International Filing Date:  
20 December 2004 (20.12.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
1025049 18 December 2003 (18.12.2003) NL

(71) Applicant (for all designated States except US): PHOTOBIOCHEM N.V. [NL/NL]; Wassenaarseweg 72, NL-2333 Leiden (NL).

(72) Inventors; and

(75) Inventors/Applicants (for US only): LUGTENBURG, Johannis [NL/NL]; Laan van Oud Poelgeest 22, NL-2341 NK Oegstgeest (NL). VAN DER HAAS, Hendrikus, Nicolaas, Sebastiaan [NL/NL]; Boerhavelaan 232, NL-2334 EX Leiden (NL). SCHUITMAKER, Johannes, Joseph [NL/NL]; Stokroos 50, NL-2317 ER Leiden (NL). DE JONG, Robertus, Leendert, Pieter [NL/NL]; Willem Warnaarlaan 12, NL-2171 HB Sassenheim (NL).

(74) Agent: ALtenburg, Bernardus, Stephanus, Franciscus; Altenburg Patent, Ravelijnstr. 125, NL-4102 AJ Culemborg (NL).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

**Published:**

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

(88) Date of publication of the international search report:  
25 August 2005

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

WO 2005/058909 A3

(54) Title: METHOD OF PREPARING PORPHYRIN DERIVATIVES, PORPHYRIN DERIVATIVES, USES THEREOF AND PHARMACEUTICAL COMPOSITIONS

(57) Abstract: Method of preparing a porphyrin derivative starting from a meso-substituted porphyrin compound. According to the invention, the meso-substituted porphyrin compound used is a meso-(2'-cyanovinyl)-substituted porphyrin compound, wherein said meso-(2'-cyanovinyl)-substituted porphyrin compound, in a form in which its porphyrin ring is complexed with a bivalent metal ion is converted, in the presence of an acid for which  $0 < pK_a < 5$  and an oxidising agent; or in the presence of a Vilsmeier reagent, into a porphyrin derivative having a quinoline-ring system ring peri-condensed to the porphyrin ring.